



CLAIMS

WHAT IS CLAIMED IS:

1. A method of treating sexual disturbances in a human who is in need of such treatment which comprises administering a sexually therapeutically effective amount of a compound of the formula (A)

$$R_1$$
 R_2
 R_3
 R_3
 R_3
 R_4
 R_3

where

 R_1 , R_2 and R_3 are the same or different and are:

-H,

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C₁-C₆ alkyl,

C₃-C₅ alkenyl,

C₃-C₅ alkynyl,

C₃-C₅ cycloalkyl,

C₄-C₁₀ cycloalkyl,

phenyl substituted C₁-C₆ alkyl,

 $-NR_1R_2$ where R_1 and R_2 are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is:

-H,

 C_1 - C_6 alkyl,

-F, -Cl, -Br, -I,

-OH,

 C_1 - C_6 alkoxy,

cyano,

carboxamide,

carboxyl,

(C₁-C₆ alkoxy)carbonyl,

A is:

CH,





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CH<sub>2</sub>,
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CH-(halogen) where halogen is -F, -Cl, -Br, -I,

CHCH₃,

C=O,

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C=S,

C-SCH₃,

C=NH,

C-NH₂,

C-NHCH₃,

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C-NHCOOCH₃,

C-NHCN,

SO₂,

N;

B is:

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CH₂,

CH,

CH-(halogen) where halogen is as defined above,

C=O,

N,

NH,

N-CH₃,

D is:

CH,

 CH_2 ,

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CH-(halogen) where halogen is as defined above,

C=O,

O,

N,

NH,

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N-CH₃;

and n is 0 or 1, and where <u>....</u> is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH_2 , CH-(halogen) where halogen is as defined above, $CHCH_3$, C=O, C=S, C=NH, SO_2 :

r.





then D is CH_2 , CH-(halogen) where halogen is as defined above, C=O, O, NH, N- CH_3 ;

(2) that when n is 0, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; then D is CH, N_1

(3) that when n is 1, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O, C=S, C=NH, SO₂; and

B is CH₂, CH-(halogen) where halogen is as defined above, C=O, NH, N-

10 CH₃; then

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D is CH₂, C=O, O, NH, N-CH₃;

(4) that when n is 1, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; and B is CH, N; then

D is CH₂, C=O, O, NH, N-CH₃;

(5) that when n is 1, and

A is CH₂, CHCH₃, C=O, C=S, C=NH, SO₂, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof to the human.

- 20 2. A method of treating sexual disturbances according to claim 1 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.
- 3. A method of inducing mating a non-human mammal which comprises administering a sexually mating amount of a compound of the formula (A)

$$X \longrightarrow \begin{bmatrix} H_1 \\ N \end{bmatrix} \begin{bmatrix} H_2 \\ N \end{bmatrix} \begin{bmatrix} R_3 \\ A \end{bmatrix} \begin{bmatrix} B \end{bmatrix}_{r}$$

where

 R_1 , R_2 and R_3 are the same or different and are:

-H,



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C₁-C₆ alkyl,

C₃-C₅ alkenyl,

C₃-C₅ alkynyl,

C₃-C₅ cycloalkyl,

C₄-C₁₀ cycloalkyl,

phenyl substituted C₁-C₆ alkyl,

 $-NR_1R_2$ where R_1 and R_2 are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is:

10 -H,

C₁-C₆ alkyl,

-F, -Cl, -Br, -I,

-OH,

 C_1 - C_6 alkoxy,

15 cyano,

carboxamide,

carboxyl,

 $(C_1-C_6 \text{ alkoxy})$ carbonyl,

A is:

20 CH,

 CH_2 ,

CH-(halogen) where halogen is -F, -Cl, -Br, -I,

CHCH₃,

C=O,

25 C=S,

C-SCH₃,

C=NH,

C-NH₂,

C-NHCH₃,

30 C-NHCOOCH₃,

C-NHCN,

SO₂,

N;

B is:



CH₂,

CH,

CH-(halogen) where halogen is as defined above,

C=O,

N,

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NH,

N-CH₃,

D is:

CH,

 CH_2 ,

CH-(halogen) where halogen is as defined above,

C=O,

Ο,

N,

15 NH,

N-CH₃;

and n is 0 or 1, and where is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O,

20 C=S, C=NH, SO₂:

then D is CH₂, CH-(halogen) where halogen is as defined above, C=O, O,

NH, N-CH_{3:}

(2) that when n is 0, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; then

25 D is CH, N₁

(3) that when n is 1, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O,

C=S, C=NH, SO₂; and

B is CH₂, CH-(halogen) where halogen is as defined above, C=O, NH, N-

30 CH₃; then

D is CH₂, C=O, O, NH, N-CH₃;

(4) that when n is 1, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; and

B is CH, N; then





D is CH₂, C=O, O, NH, N-CH₃;

(5) that when n is 1, and

A is CH₂, CHCH₃, C=O, C=S, C=NH, SO₂, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof.

- 4. A method of inducing mating according to claim 3 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.
- 5. A method of treating a sexual deficiency state in a human who has epilepsy, craniopharyngioma, hypogonadism or who has had a hysterectomyoophorectomy, 10 hysterectomy or oophorectomy which comprises administering a sexually therapeutically effective amount of a compound of the formula (A)

$$R_1$$
 R_2
 R_3
 R_3
 R_3
 R_3
 R_3

where

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 R_1 , R_2 and R_3 are the same or different and are:

-H,

C₁-C₆ alkyl,

C₃-C₅ alkenyl,

C₃-C₅ alkynyl,

C₃-C₅ cycloalkyl,

C₄-C₁₀ cycloalkyl,

phenyl substituted C1-C6 alkyl,

-NR₁R₂ where R₁ and R₂ are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

25 X is:

-H,

 C_1 - C_6 alkyl,

-F, -Cl, -Br, -I,

-OH,

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C_1-C_6 alkoxy,
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cyano,

carboxamide,

carboxyl,

(C₁-C₆ alkoxy)carbonyl, 5

A is:

CH,

CH₂,

CH-(halogen) where halogen is -F, -Cl, -Br, -I,

CHCH₃, 10

C=O,

C=S,

C-SCH₃,

C=NH,

C-NH₂,

C-NHCH₃,

C-NHCOOCH₃,

C-NHCN,

SO₂,

N;

B is:

CH₂,

CH,

CH-(halogen) where halogen is as defined above,

25 C=O,

N,

NH,

N-CH₃,

D is:

CH, 30

CH₂,

CH-(halogen) where halogen is as defined above,

C=O,

O,



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N,

NH,

N-CH_{3:}

and n is 0 or 1, and where is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O, C=S, C=NH, SO₂:

then D is CH_2 , CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH_{3:}

10 (2) that when n is 0, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; then D is CH, N.

(3) that when n is 1, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O,

15 C=S, C=NH, SO_2 ; and

 $\label{eq:Bisch} B \ is \ CH_2, \ CH\mbox{-}(halogen) \ where \ halogen \ is \ as \ defined \ above, \ C=O, \ NH, \ N-CH_3; \ then$

D is CH₂, C=O, O, NH, N-CH₃:

(4) that when n is 1, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; and

B is CH, N; then

D is CH₂, C=O, O, NH, N-CH₃:

(5) that when n is 1, and

A is CH₂, CHCH₃, C=O, C=S, C=NH, SO₂, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof to the human.

6. A method of treating a sexual deficiency state according to claim 5 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

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7. A method of increasing sexual desire, interest or performance in a human who is desirous thereof which comprises administering a sexually useful effective amount of a compound of the formula (A)





where

 R_1 , R_2 and R_3 are the same or different and are:

-H,

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 C_1 - C_6 alkyl,

C₃-C₅ alkenyl,

C₃-C₅ alkynyl,

C₃-C₅ cycloalkyl,

C₄-C₁₀ cycloalkyl,

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phenyl substituted C₁-C₆ alkyl,

-NR₁R₂ where R₁ and R₂ are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is:

-H,

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C₁-C_f alkyl,

-F, -**C**l, -Br, -I,

-O∦

 $C/-C_6$ alkoxy,

cyano,

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karboxamide,

carboxyl,

(C₁-C₆ alkoxy)carbonyl,

A is

CH,

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 CH_2 ,

CH-(halogen) where halogen is -F, -Cl, -Br, -I,

CHCH₃,

C=O,

C=S,



C-SCH₃,
C=NH,
C-NH₂,
C-NHCH₃,

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C-NHCOOCH3,

C-NHCN,

SO₂,

N;

B is:

CH₂,

CH,

CH-halogen) where halogen is as defined above,

С=Ф

N,

NH,

 $N-CH_3$,

D is:

CH,

 CH_2 ,

CH-(halogen) where halogen is as defined above,

C=O,

O,

N,

NH,

N-CH₃;

and n is 0 or 1, and where is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH_2 , CH-(halogen) where halogen is as defined above, $CHCH_3$, C=O,

C=S, C \neq NH, SO₂;

then D is CH_2 , CH-(halogen) where halogen is as defined above, C=O, O,

NH, N-CH_{3;}

(2) that when n is 0, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; then

D is CH, N;

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(3) that when n is 1, and

A is CH₂, CH-(halogen) where halogen is as defined above, CHCH₃, C=O, C=S, C=NH, SO₂; and

B is CH₂, CH-(halogen) where halogen is as defined above, C=O, NH, N-

5 CH₃; then

D is CH₂, C=O, O, NH, N-CH₃:

(4) that when n is 1, and

A is CH, C-SCH₃, C-NH₂, C-NHCH₃, C-NHCOOCH₃, C-NHCN, N; and

B is CH, N; then

D is CH₂, C= ϕ , O, NH, N-CH₃;

(5) that when n is 1, and

A is CH₂, **CHCH**₃, C=O, C=S, C=NH, SO₂, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof to the human.

8. A method of increasing sexual desire, interest or performance in a human who is desirous thereof according to claim 7 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

9. (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione and pharmaceutically acceptable salts thereof.

10. A compound according to claim 9 which is (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione malate.

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